

CAFFEINE

Scientific Name: 1,3,7-trimethylxanthine

Common Names: Anhydrous Caffeine, Caffeine and Sodium Benzoate, Caffeine Citrate, Citrated Caffeine

Historical Perspective: Caffeine containing beverages and plants have been used for thousands of years, with the first historical record of use found in Aztec records. Six caffeine-containing plants are more widely used in the world than all other herbal materials put together, these include: cacao, coffee, guarana, kola, mate and tea.

Common Uses Caffeine is used to treat headaches and migraines, increase mental alertness, treat asthma and enhance athletic performance. In combination, caffeine is used with ephedrine (ephedra or Ma Huang) or other stimulants and diuretics (water pills) to promote weight loss.

Common and/or Recommended Dosage: The dosage recommended for athletic performance is 6-13 milligrams per kilogram of body weight taken 30-60 minutes prior to exercise. A typical dose to treat headache or increase mental alertness is up to 250 milligrams per day.

Potential Side Effects Caffeine can cause insomnia, nervousness, restlessness, gastric (digestive system) irritation, nausea and vomiting, tachycardia (excessively rapid increase in heart rate), quickened respiration, tremors (involuntary quivering), delirium (acute mental disorder characterized by disordered thinking and rambling speech), convulsions and diuresis (increased excretion of urine). Large doses can produce headache, anxiety, agitation, ringing in the ears and abnormal heartbeat. Long-term use of caffeine, especially in large amounts, can sometimes produce tolerance, dependency and psychological dependence. Discontinuing use of caffeine can sometimes result in physical withdrawal symptoms, including headaches, irritation, nervousness, anxiety and dizziness. Side effects of caffeine use are often increased by the use of other caffeine-containing herbs/supplements such as guarana and mate. Use of caffeine containing beverages -- coffee, cola and black teas -- along with oral caffeine can greatly increase the side effects. Delirium can occur with intake over 1,000 milligrams per day and death can occur with intake over 18,000 milligrams per day.

Food Drug Interactions: The following list of drugs when used with caffeine can increase the risk of caffeine related side effects:

- ? alcohol
- ? disulfiram (Antabuse)
- ? estrogen (Estrace)
- ? terbinafine (Iamisil)
- ? fluvoxamine (Luvox)
- ? mexiletine (Mexitil)
- ? oral contraceptives (or birth control pills)
- ? quinolones (such as Cipro, Penetrex, Tequin, Levaquin, Floxin, etc.)
- ? riluzole (Rilutek)
- ? cimetidine (Tagamet)
- ? verapamil (Calan, Isoptin, Verelan).

Caffeine can increase the side effects of:

- ? albuterol (Proventil, Ventolin)
- ? metaproterenol (Alupent)
- ? ephedrine (Ephedra, Ma Huang)
- ? phenylpropanolamine (Dexatrim, Propagest)
- ? lithium (Eskalith, Lithobid)
- ? MAO inhibitors (Nardil, Parnate and others)
- ? methylphenidate (Ritalin)
- ? theophylline (Theo-dur)
- ? riluzole (Rilutek).

Caffeine may decrease the effectiveness of:

- ? clozapine (Clozaril)
- ? clorazepate (Tranxene)
- ? oxazepam (Serax)
- ? diazepam (Valium).

Contraindication to Use: Heart disease, depression or anxiety disorders, diabetes, high blood pressure, kidney disease, peptic ulcer disease, and pregnancy (further guidance concerning pregnancy recommendations can be obtained at www.acog.org).

Research Data on Safety and Efficacy: Caffeine is a safe product when used in moderation. Research shows that it may improve performance in prolonged exercise at moderate intensity. However, there is no benefit for routine, high dose caffeine users, 5-6 cups of coffee per day. It is FDA-approved and is used in several over-the-counter and prescription products. The International Olympic Committee bans use of caffeine in excess of 7 milligrams per kilogram body weight.

Bottom Line: Caffeine is safe when used in moderate, reasonable amounts. Consult a health care provider, dietitian or pharmacist when considering using caffeine-containing products in combination with prescription medications or herbal supplements.

References

1. Foster, Steven and Tyler, Varro E. PhD, Tyler's Hones Herbal, 4th Edition, 1999.
2. The Natural Medicines Comprehensive Database. Jeff M. Jellin (Pharm D). Therapeutic Research Facility, 4th Edition, 2002.